

10/ 005,133

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* * * * * Welcome to STN International * * * * *

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now available on STN
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NEWS 8 Sep 16 Experimental properties added to the REGISTRY file
NEWS 9 Sep 16 CA Section Thesaurus available in CAPLUS and CA
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NEWS 13 Nov 18 DKILIT has been renamed APOLLIT
NEWS 14 Nov 25 More calculated properties added to REGISTRY
NEWS 15 Dec 04 CSA files on STN
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NEWS 17 Dec 17 TOXCENTER enhanced with additional content
NEWS 18 Dec 17 Adis Clinical Trials Insight now available on STN
NEWS 19 Jan 29 Simultaneous left and right truncation added to COMPENDEX,
ENERGY, INSPEC
NEWS 20 Feb 13 CANCERLIT is no longer being updated
NEWS 21 Feb 24 METADEX enhancements
NEWS 22 Feb 24 PCTGEN now available on STN
NEWS 23 Feb 24 TEMA now available on STN
NEWS 24 Feb 26 NTIS now allows simultaneous left and right truncation
NEWS 25 Feb 26 PCTFULL now contains images
NEWS 26 Mar 04 SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 27 Mar 19 APOLLIT offering free connect time in April 2003
NEWS 28 Mar 20 EVENTLINE will be removed from STN
NEWS 29 Mar 24 PATDPAFULL now available on STN
NEWS 30 Mar 24 Additional information for trade-named substances without
structures available in REGISTRY
NEWS 31 Apr 11 Display formats in DGENE enhanced
NEWS 32 Apr 14 MEDLINE Reload
NEWS 33 Apr 17 Polymer searching in REGISTRY enhanced
NEWS 34 Apr 21 Indexing from 1947 to 1956 being added to records in CA/CAPLUS
NEWS 35 Apr 21 New current-awareness alert (SDI) frequency in
WPIDS/WPINDEX/WPIX

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
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Enter NEWS followed by the item number or name to see news on that

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FILE 'HOME' ENTERED AT 15:09:55 ON 25 APR 2003

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 15:10:03 ON 25 APR 2003

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STRUCTURE FILE UPDATES: 24 APR 2003 HIGHEST RN 505023-70-1

DICTIONARY FILE UPDATES: 24 APR 2003 HIGHEST RN 505023-70-1

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

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<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

Uploading 10005133.str

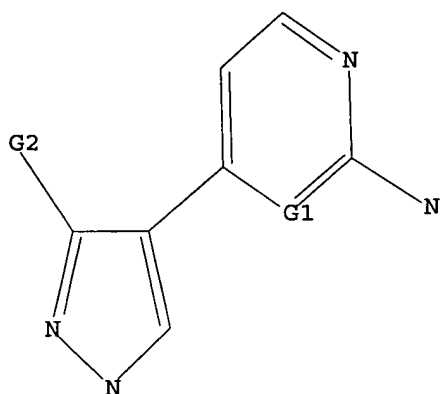
L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

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G1 C,N

G2 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 15:10:25 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 98 TO ITERATE

100.0% PROCESSED 98 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
/ BATCH **COMPLETE**
PROJECTED ITERATIONS: 1367 TO 2553
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 15:10:32 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1945 TO ITERATE

100.0% PROCESSED 1945 ITERATIONS 30 ANSWERS
SEARCH TIME: 00.00.01

L3 30 SEA SSS FUL L1

=> file caplus

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FULL ESTIMATED COST	148.15	148.36

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10/ 005,133

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FILE COVERS 1907 - 25 Apr 2003. VOL 138 ISS 18
FILE LAST UPDATED: 24 Apr 2003 (20030424/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 9 L3

=> d l4 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 9 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2003:150531 CAPLUS

DOCUMENT NUMBER: 138:187765

TITLE: Preparation of heteroarylpyrazoles as p38 kinase inhibitors

INVENTOR(S): Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce Zuowu; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Graneto, Matthew J.; Hanau, Cathleen E.; Hanson, Gunnar J.; Hartmann, Susan J.; Hepperle, Michael; Huang, He; Koszyk, Francis J.; Liao, Shuyuan; Metz, Suzanne; Partis, Richard A.; Perry, Thao D.; Rao, Shashidhar N.; Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Talley, John Jeffrey; Vazquez, Michael L.; Weier, Richard M.; Xu, Xiangdong; Khanna, Ish K.; Yu, Yi

PATENT ASSIGNEE(S): G. D. Searle & Company, USA

SOURCE: U.S., 415 pp., Cont.-in-part of U.S. Ser. No. 196,623. CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

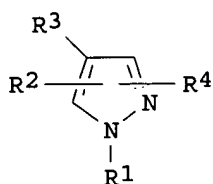
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6525059	B1	20030225	US 2000-513351	20000224
US 6514977	B1	20030204	US 1998-196623	19981120
WO 2000031063	A1	20000602	WO 1999-US26007	19991117

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

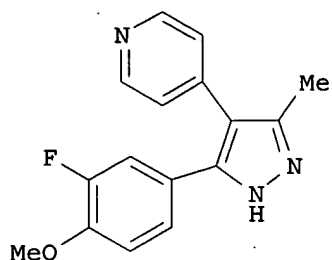
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1998-196623 A2 19981120
WO 1999-US26007 A1 19991117
US 1997-47570P P 19970522
US 1998-83670 A2 19980522

OTHER SOURCE(S): MARPAT 138:187765
GI



I



II

AB Title compds. [I; R1 = H, OH, NH₂, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = (un)substituted piperidinyl; R3 = (un)substituted pyrimidinyl; R4 = (un)substituted Ph; and pharmaceutically acceptable salts or tautomers thereof] were prepd. by soln. phase and solid phase parallel array reactions of ketones with hydrazines. Thus, R₃CH₂COMe (R₃ = 4-pyridinyl) was condensed with 3,4-F(MeO)C₆H₃CHO to give the butenone (80%), which was cyclocondensed with TsNHNH₂ to afford the title compd. II (20.7%). The latter inhibited human p38 kinase activity in vitro with IC₅₀ of 4.6 .mu.M and inhibited tumor necrosis factor .alpha. (TNF.alpha.) and interleukin 1.beta. (IL-1.beta.) release from human peripheral blood mononuclear cells following stimulation with lipopolysaccharide with IC₅₀ of 0.5 .mu.M. Thus, I are useful for the treatment of inflammation, arthritis, asthma, and other disorders mediated by p38 kinase and TNF.alpha..

IT 216504-84-6P 216504-85-7P 216505-37-2P

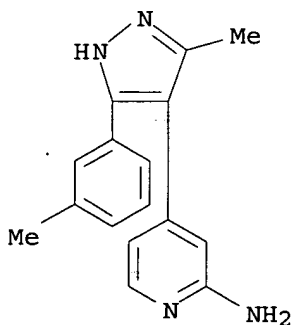
216505-48-5P 216505-49-6P 216507-06-1P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(p38 kinase inhibitor; prepn. of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)

RN 216504-84-6 CAPLUS

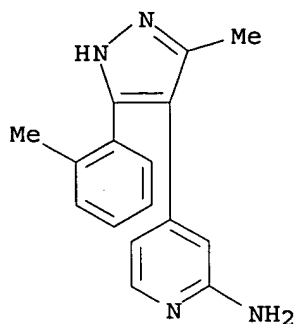
CN 2-Pyridinamine, 4-[3-methyl-5-(3-methylphenyl)-1H-pyrazol-4-yl]- (9CI)
(CA INDEX NAME)



RN 216504-85-7 CAPLUS

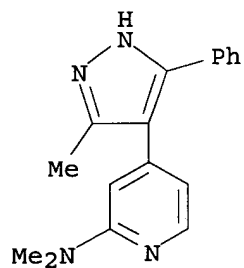
CN 2-Pyridinamine, 4-[3-methyl-5-(2-methylphenyl)-1H-pyrazol-4-yl]- (9CI)
(CA INDEX NAME)

10/ 005,133



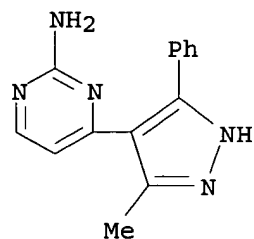
RN 216505-37-2 CAPLUS

CN 2-Pyridinamine, N,N-dimethyl-4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)- (9CI)
(CA INDEX NAME)



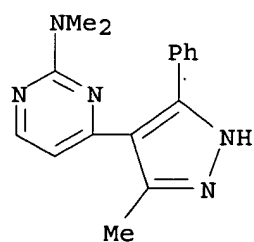
RN 216505-48-5 CAPLUS

CN 2-Pyrimidinamine, 4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX
NAME)



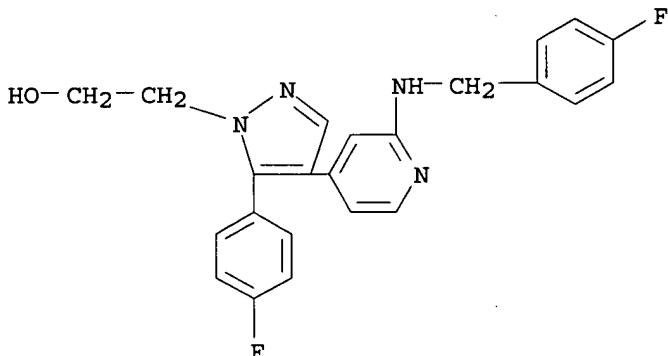
RN 216505-49-6 CAPLUS

CN 2-Pyrimidinamine, N,N-dimethyl-4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)-
(9CI) (CA INDEX NAME)



10/ 005,133

RN 216507-06-1 CAPLUS
CN 1H-Pyrazole-1-ethanol, 5-(4-fluorophenyl)-4-[2-[[4-fluorophenyl)methyl]amino]-4-pyridinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 75 THERE ARE 75 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2003:92403 CAPLUS

DOCUMENT NUMBER: 138:137307

TITLE: Preparation of heteroarylpyrazoles as p38 kinase inhibitors

INVENTOR(S): Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce Zuowu; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Graneto, Matthew J.; Hanau, Cathleen E.; Hanson, Gunnar J.; Hartmann, Susan J.; Hepperle, Michael; Huang, He; Koszyk, Francis J.; Liao, Shuyuan; Metz, Suzanne; Partis, Richard A.; Perry, Thao D.; Rao, Shashidhar N.; Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Talley, John Jeffrey; Vazquez, Michael L.; Weier, Richard M.; Xu, Xiangdong; Khanna, Ish K.; Yu, Yi

PATENT ASSIGNEE(S): G.D. Searle & Company, USA

SOURCE: U.S., 541 pp., Cont.-in-part of U.S. Ser. No. 83,670.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6514977	B1	20030204	US 1998-196623	19981120
WO 2000031063	A1	20000602	WO 1999-US26007	19991117
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1144403	A1	20011017	EP 1999-965756	19991117
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			

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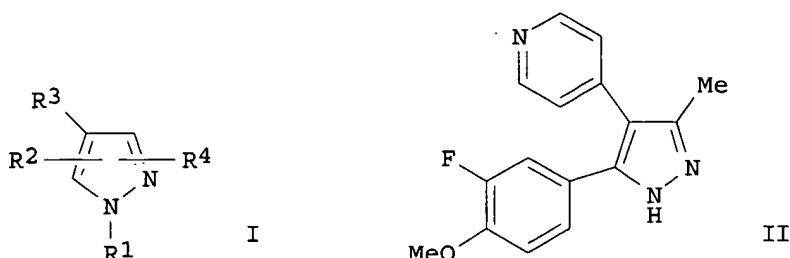
BR 9915420	A	20020122	BR 1999-15420	19991117
EE 200100268	A	20021216	EE 2001-200100268	19991117
US 6525059	B1	20030225	US 2000-513351	20000224
NO 2001002456	A	20010719	NO 2001-2456	20010518
US 6423713	B1	20020723	US 2001-918481	20010731

PRIORITY APPLN. INFO.:

US 1997-47570P	P	19970522
US 1998-83670	A2	19980522
US 1998-196623	A	19981120
WO 1999-US26007	W	19991117

OTHER SOURCE(S): MARPAT 138:137307

GI



AB Title compds. [I; R1 = H, OH, NH₂, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = (un)substituted piperidinyl or piperazinyl; R3 = (un)substituted pyrimidinyl; R4 = (un)substituted Ph; and pharmaceutically acceptable salts or tautomers thereof] were prepd. by soln. phase and solid phase parallel array reactions of ketones with hydrazines. Thus, R₃CH₂C(=O)Me (R₃ = 4-pyridinyl) was condensed with 3,4-F(MeO)C₆H₃CHO to give the butenone (80%), which was cyclocondensed with TsNHNH₂ to afford the title compd. II (20.7%). The latter inhibited human p38 kinase activity in vitro with IC₅₀ of 4.6 .mu.M and inhibited tumor necrosis factor .alpha. (TNF.alpha.) and interleukin 1.beta. (IL-1.beta.) release from human peripheral blood mononuclear cells following stimulation with lipopolysaccharide with IC₅₀ of 0.5 .mu.M. Thus, I are useful for the treatment of inflammation, arthritis, asthma, and other disorders mediated by p38 kinase and TNF.alpha..

IT 216504-84-6P 216504-85-7P 216505-37-2P
216505-48-5P 216505-49-6P 216507-06-1P

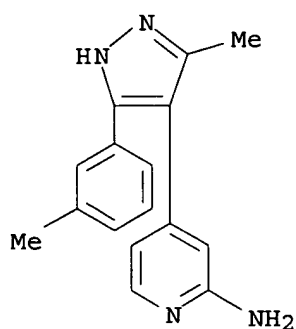
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(p38 kinase inhibitor; prepn. of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)

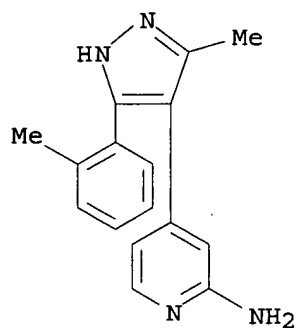
RN 216504-84-6 CAPLUS

CN 2-Pyridinamine, 4-[3-methyl-5-(3-methylphenyl)-1H-pyrazol-4-yl]- (9CI)
(CA INDEX NAME)

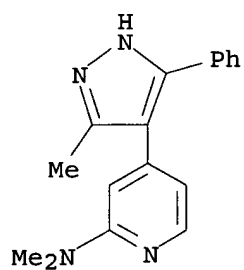
10/ 005,133



RN 216504-85-7 CAPLUS
CN 2-Pyridinamine, 4-[3-methyl-5-(2-methylphenyl)-1H-pyrazol-4-yl]- (9CI)
(CA INDEX NAME)

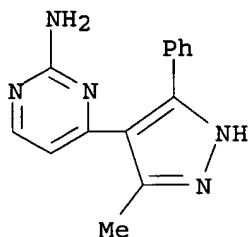


RN 216505-37-2 CAPLUS
CN 2-Pyridinamine, N,N-dimethyl-4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)- (9CI)
(CA INDEX NAME)



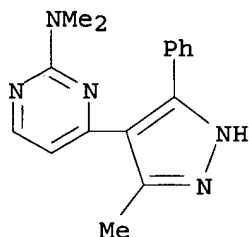
RN 216505-48-5 CAPLUS
CN 2-Pyrimidinamine, 4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)

10/ 005,133



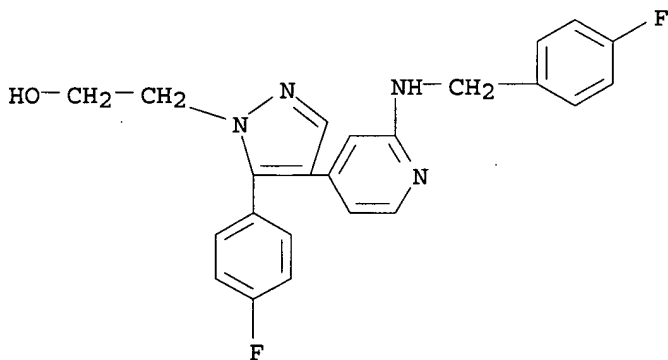
RN 216505-49-6 CAPLUS

CN 2-Pyrimidinamine, N,N-dimethyl-4-(3-methyl-5-phenyl-1H-pyrazol-4-yl) -
(9CI) (CA INDEX NAME)



RN 216507-06-1 CAPLUS

CN 1H-Pyrazole-1-ethanol, 5-(4-fluorophenyl)-4-[2-[[4-(fluorophenyl)methyl]amino]-4-pyridinyl] - (9CI) (CA INDEX NAME)



REFERENCE COUNT: 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:888716 CAPLUS

DOCUMENT NUMBER: 137:384853

TITLE: Preparation of pyrazolyl pyridinamines and pyrimidinamines as inhibitors of Src and other protein kinases

INVENTOR(S): Moon, Young-Choon

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092573	A2	20021121	WO 2002-US15606	20020516
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:

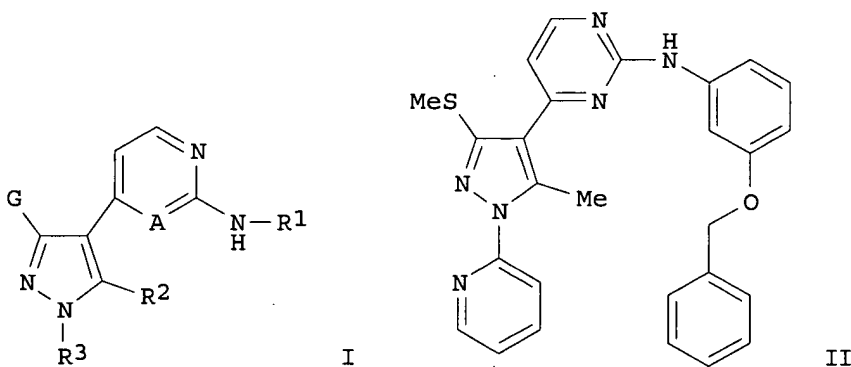
WO 2002-US15606

20020516

OTHER SOURCE(S):

MARPAT 137:384853

GI



AB Title compds. I [wherein G = XR or XAr; X = independently alkylidene wherein 1-2 non-adjacent methylene units are independently replaced by O, NR, S, CO, CONR, NRCO, NRCONR, SO, SO₂, NRSO₂, SO₂NR, or NRSO₂NR; A = N or CR; R = H or (un)substituted aliph. group; or NR₂ = heterocyclyl; Ar = (un)substituted 5-6 membered monocyclic ring with 0-3 heteroatoms or 8-10 membered bicyclic ring with 0-4 heteroatoms; R₁ = TnR or TnAr; n = 0-1; T = CO, CO₂, COCO, COCH₂CO, CONR, SO₂, or SO₂NR; R₂ = H, Ar, or (un)substituted aliph. group; R₃ = R or Ar; or pharmaceutically acceptable derivs. thereof] were prepd. as inhibitors of protein kinase, particularly inhibitors of Src mammalian protein kinase involved in cell proliferation, cell death and response to extracellular stimuli (no data). For example, 3-dimethylamino-1-[5-methyl-3-methylsulfanyl-1-(pyridin-2-yl)-1H-pyrazol-4-yl]propenone was coupled with N-(3-benzyloxyphenyl)guanidine in MeOH to give II (40%). I and compns. contg. I are useful in the treatment and prevention of various inflammatory, autoimmune, destructive bone, proliferative, infectious, neurodegenerative, allergic, and cardiac disorders and diseases (no data).

IT 475574-56-2P, N-(3-(Benzyloxy)phenyl)-N-[4-[5-methyl-3-(2-(methylthio)ethyl)-1-phenyl-1H-pyrazol-4-yl]pyrimidin-2-yl]amine
475574-57-3P, N-(3-Phenoxyphenyl)-N-[4-[5-methyl-3-(2-(methylthio)ethyl)-1-phenyl-1H-pyrazol-4-yl]pyrimidin-2-yl]amine
475574-58-4P, N-(3-Chlorophenyl)-N-[4-[5-methyl-3-(2-(methylthio)ethyl)-1-phenyl-1H-pyrazol-4-yl]pyrimidin-2-yl]amine
475574-59-5P, N-(3-Methoxyphenyl)-N-[4-[5-methyl-3-(2-

(methylthio)ethyl)-1-phenyl-1H-pyrazol-4-yl]pyrimidin-2-yl]amine

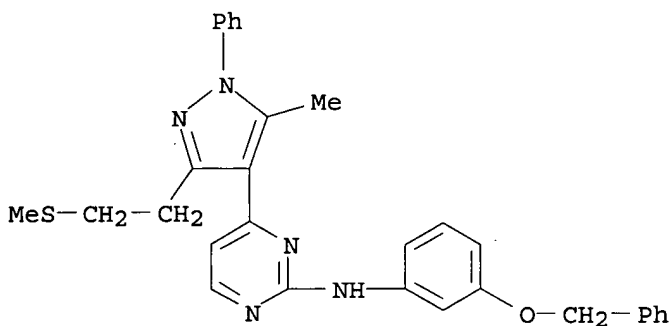
475574-60-8P, N-(3-(Methoxycarbonyl)phenyl)-N-[4-[5-methyl-3-(2-(methylthio)ethyl)-1-phenyl-1H-pyrazol-4-yl]pyrimidin-2-yl]amine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Src protein kinase inhibitor; prepn. of pyrazolyl pyridinamines and pyrimidinamine inhibitors of protein kinases using condensation, cyclization, and substitution reactions)

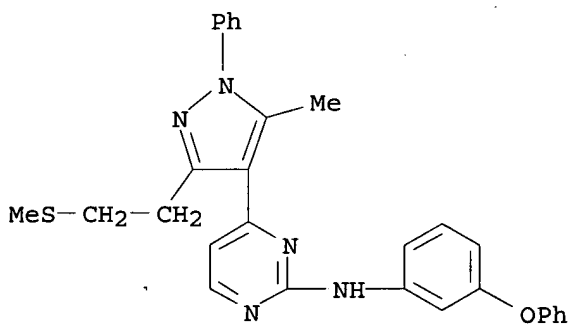
RN 475574-56-2 CAPLUS

CN 2-Pyrimidinamine, 4-[5-methyl-3-[2-(methylthio)ethyl]-1-phenyl-1H-pyrazol-4-yl]-N-[3-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



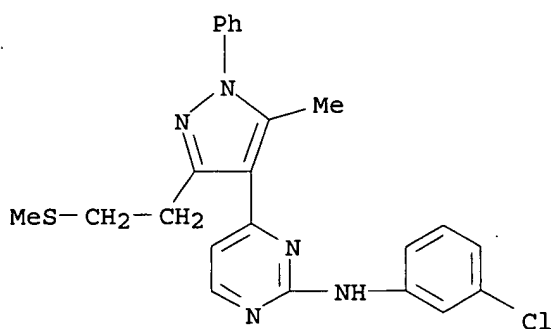
RN 475574-57-3 CAPLUS

CN 2-Pyrimidinamine, 4-[5-methyl-3-[2-(methylthio)ethyl]-1-phenyl-1H-pyrazol-4-yl]-N-(3-phenoxyphenyl)- (9CI) (CA INDEX NAME)

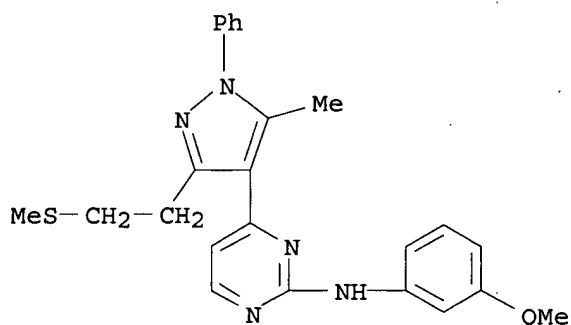


RN 475574-58-4 CAPLUS

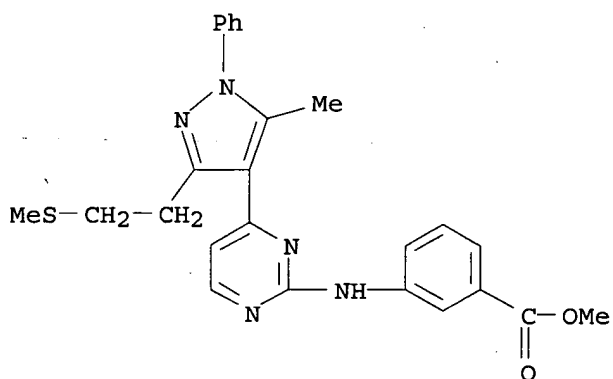
CN 2-Pyrimidinamine, N-(3-chlorophenyl)-4-[5-methyl-3-[2-(methylthio)ethyl]-1-phenyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 475574-59-5 CAPLUS
 CN 2-Pyrimidinamine, N-(3-methoxyphenyl)-4-[5-methyl-3-[2-(methylthio)ethyl]-1-phenyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 475574-60-8 CAPLUS
 CN Benzoic acid, 3-[[4-[5-methyl-3-[2-(methylthio)ethyl]-1-phenyl-1H-pyrazol-4-yl]-2-pyrimidinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



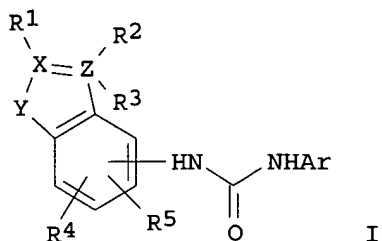
L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:591913 CAPLUS
 DOCUMENT NUMBER: 137:150215
 TITLE: Cdk4 and/or Cdk6 inhibitors with biaryl ureas and their salts as antitumor agents
 INVENTOR(S): Hatayama, Satoshi; Hayashi, Kyoko; Honma, Mitsuki; Takahashi, Ikuko
 PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

10/ 005,133

SOURCE: Jpn. Kokai Tokkyo Koho, 194 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002220338	A2	20020809	JP 2001-18755	20010126
PRIORITY APPLN. INFO.:			JP 2001-18755	20010126
OTHER SOURCE(S):	MARPAT	137:150215		

GI



AB This invention relates to the general structures (I; Ar = N-contg. hetero arom. ring, X, Z = C, etc.; Y = CO, etc.; R1-R5 = H, etc.) and their salts as Cdk4 and/or Cdk6 inhibitors. I have antiproliferative effects on cancer cells and are potential antitumor agents. Formulation examples of I capsules, tablets, and injections were given.

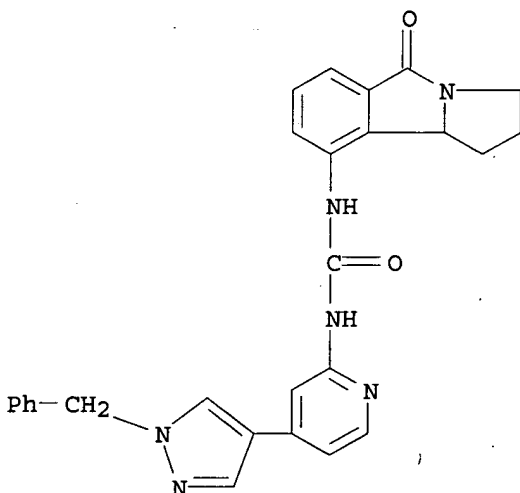
IT 322685-65-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Cdk4 and/or Cdk6 inhibitors with biaryl ureas and their salts as antitumor agents)

RN 322685-65-4 CAPLUS

CN Urea, N-[4-[1-(phenylmethyl)-1H-pyrazol-4-yl]-2-pyridinyl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)



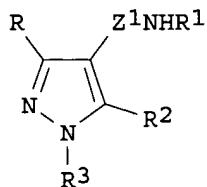
10/ 005,133

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:449675 CAPLUS
DOCUMENT NUMBER: 137:33311
TITLE: Preparation of pyrazolylpyridine- and
-pyrimidineamines as JNK inhibitors
INVENTOR(S): Ledebøer, Mark; Salituro, Francesco; Moon, Young-Choon
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046184	A1	20020613	WO 2001-US46383	20011205
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002028783	A5	20020618	AU 2002-28783	20011205
US 2002111353	A1	20020815	US 2001-5133	20011205
PRIORITY APPLN. INFO.:			US 2000-251409P P	20001205
			WO 2001-US46383 W	20011205
OTHER SOURCE(S):		MARPAT 137:33311		
GI				

*Applicant's
prepatent
Pub.*



I

AB Title compds. (I; R = H or alkyl; R1 = cycloalkyl, Ph, pyridyl, etc.; R2 = H, alkoxymethyl, heterocyclylmethyl, etc.; R3 = Ph, CH2Ph, etc.; Z1 = pyridine- or pyrimidine-4,2-diyl) were prepd. Thus, R4Z1CH(CHO)2 (R4 = MeS, Z1 = pyrimidine-2,4-diyl) was cyclocondensed with H2NNHC6H3F2-2,4 and the S-oxidized product aminated by cyclohexylamine to give I (R = R2 = H, R1 = cyclohexyl, R3 = C6H3F2-2,4). Data for biol. activity of I were given.

IT 434283-94-0P 434283-95-1P 434283-96-2P
434283-97-3P 434283-98-4P 434283-99-5P
434284-00-1P 434284-01-2P 434284-02-3P
434284-03-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

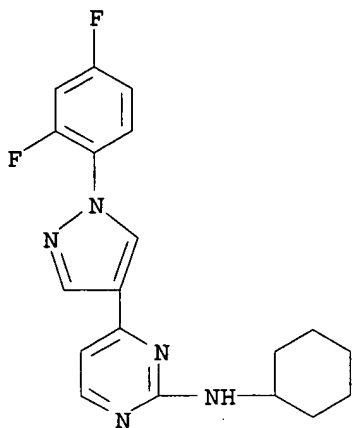
(prepn. of pyrazolylpyridine- and -pyrimidineamines as JNK inhibitors)

RN 434283-94-0 CAPLUS

CN 2-Pyrimidinamine, N-cyclohexyl-4-[1-(2,4-difluorophenyl)-1H-pyrazol-4-yl]-

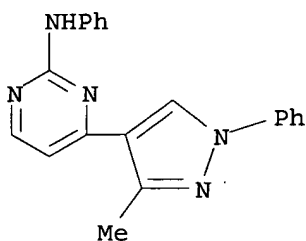
10/ 005,133

(9CI) (CA INDEX NAME)



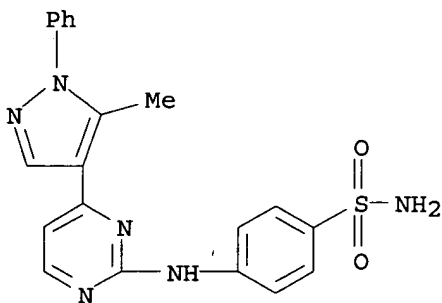
RN 434283-95-1 CAPLUS

CN 2-Pyrimidinamine, 4-(3-methyl-1-phenyl-1H-pyrazol-4-yl)-N-phenyl- (9CI)
(CA INDEX NAME)



RN 434283-96-2 CAPLUS

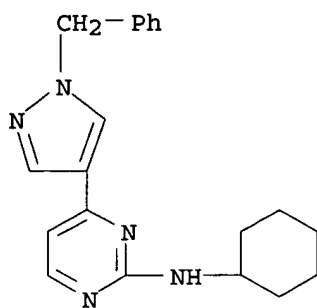
CN Benzenesulfonamide, 4-[[4-(5-methyl-1-phenyl-1H-pyrazol-4-yl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 434283-97-3 CAPLUS

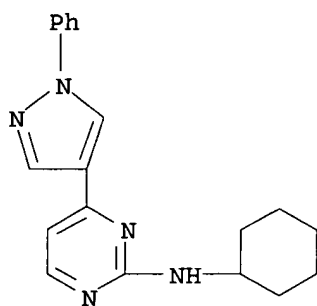
CN 2-Pyrimidinamine, N-cyclohexyl-4-[1-(phenylmethyl)-1H-pyrazol-4-yl]- (9CI)
(CA INDEX NAME)

10/ 005,133



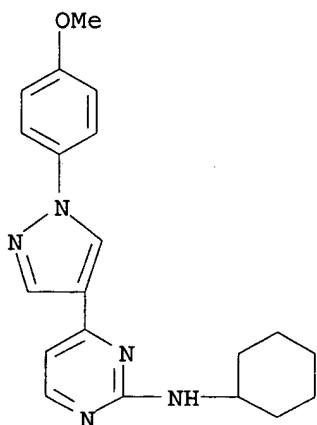
RN 434283-98-4 CAPLUS

CN 2-Pyrimidinamine, N-cyclohexyl-4-(1-phenyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)



RN 434283-99-5 CAPLUS

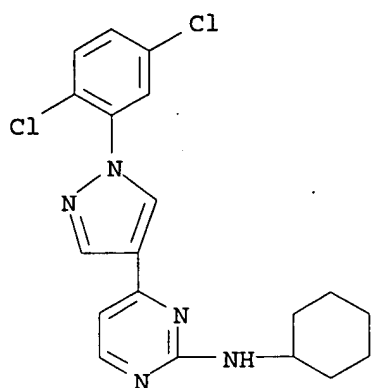
CN 2-Pyrimidinamine, N-cyclohexyl-4-[1-(4-methoxyphenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 434284-00-1 CAPLUS

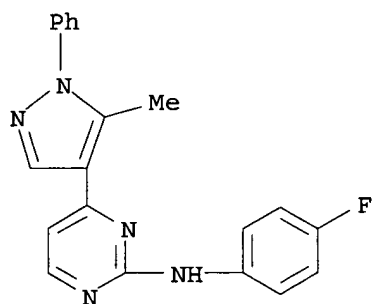
CN 2-Pyrimidinamine, N-cyclohexyl-4-[1-(2,5-dichlorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

10/ 005,133



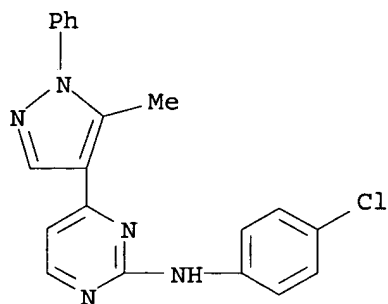
RN 434284-01-2 CAPLUS

CN 2-Pyrimidinamine, N-(4-fluorophenyl)-4-(5-methyl-1-phenyl-1H-pyrazol-4-yl)-
(9CI) (CA INDEX NAME)



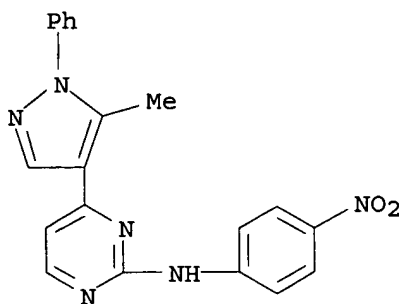
RN 434284-02-3 CAPLUS

CN 2-Pyrimidinamine, N-(4-chlorophenyl)-4-(5-methyl-1-phenyl-1H-pyrazol-4-yl)-
(9CI) (CA INDEX NAME)



RN 434284-03-4 CAPLUS

CN 2-Pyrimidinamine, 4-(5-methyl-1-phenyl-1H-pyrazol-4-yl)-N-(4-nitrophenyl)-
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:78363 CAPLUS

DOCUMENT NUMBER: 134:147614

TITLE: Preparation of N,N'-biarylurea derivatives as inhibitors of cyclin-dependent kinases (Cdk4 and Cdk6)

INVENTOR(S): Hayama, Takashi; Hayashi, Kyoko; Honma, Mitsutaka; Takahashi, Ikuko

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 460 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

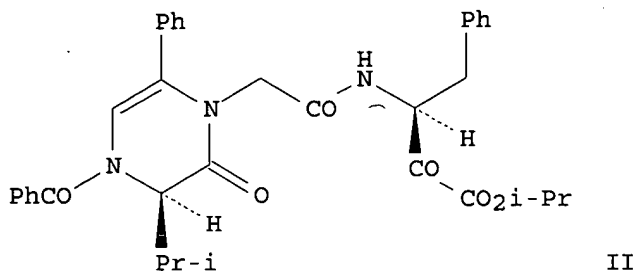
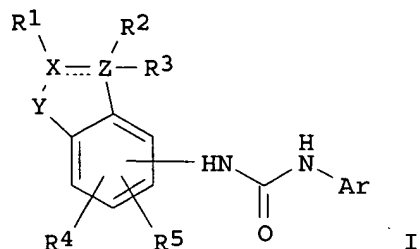
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007411	A1	20010201	WO 2000-JP4991	20000726
W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2001106673	A2	20010417	JP 2000-274175	20000726
EP 1199306	A1	20020424	EP 2000-949909	20000726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.: JP 1999-211384 A 19990726

WO 2000-JP4991 W 20000726

OTHER SOURCE(S): MARPAT 134:147614

GI



AB N-(hetero)aryl-N'-heterocyclylurea derivs. represented by general formula (I) [wherein Ar represents a nitrogenous heterocyclic arom. group such as (un)substituted pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, pyrazolyl, pyrrolyl, imidazolyl, indolyl, isoindolyl, quinolyl, isoquinolyl, benzothiazolyl, or benzoxazolyl; X and Z each represents C or N or together with R1 or R2 and/or R3 represent CH or N; Y represents CO, SO, or SO₂; R1 represents hydrogen, (un)substituted lower alkyl, Y3-W2-Y4-R5, etc.; wherein R5 = H, (un)substituted lower alkyl, lower alkenyl, lower alkynyl, lower cycloalkyl, aryl, imidazolyl, isoxazolyl, isoquinolyl, isoindolyl, indazolyl, indolyl, indolidinyl, isothiazolyl, ethylenedioxyphenyl, oxazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, pyrazolyl, quinoxalinyl, quinolyl, etc.; W2 = single bond, O, S, SO, SO₂, N-(un)substituted NH, SO₂NH, NHSO₂NH, NHSO₂, CONH, NHCO, NHCONH, NHCO₂, etc.; Y3, Y4 = single bond, linear or branched lower alkylene; R2 and R3 each represents hydrogen, lower alkyl or alkoxy, or Y3-W2-Y4-R5 (Y3, W2, Y4, R5 = same as above), or one of R2 and R3 together with R1 and X forms cyclohexane, cyclopentane, piperidine, 3,4,5,6-tetrahydro-1,3-oxazine, tetrahydrothiopyran, pyrrolidine, tetrahydrothiofuran, oxazolidine ring, etc.; R4 and R5 represent H, halo, OH, amino, or Y3-W2-Y4-R5 (Y3, W2, Y4, R5 = same as above)] or salts thereof are prepd. The compds. (e.g. II) have a remarkable proliferation-inhibitory effect on tumor cells. A Cdk4 and/or Cdk6 inhibitor for use in the therapy of malignant tumor can hence be provided. II showed IC₅₀ of 0.061 and 0.019 μ M against cyclin-D1-Cdk4 and cyclin-D2-Cdk4, resp., vs. 0.36 and 0.056 μ M, resp., for (+.-)-flavopiridol, and inhibited the proliferation of HCT116 and MKN-1 cells with IC₅₀ of 0.013 and 0.10 μ M, resp., vs. 0.15 and 0.87 μ M, resp., for (+.-)-flavopiridol. Pharmaceutical formulations contg. I were prepd.

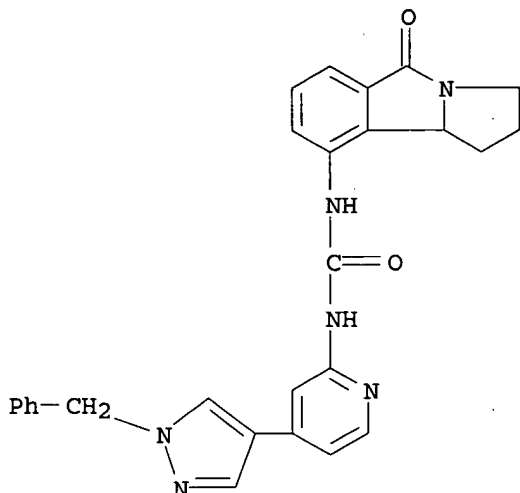
IT 322685-65-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-(hetero)aryl-N'-heterocyclylurea derivs. as inhibitors of cyclin-dependent kinases (Cdk4 and Cdk6) and antitumor agents)

RN 322685-65-4 CAPLUS

CN Urea, N-[4-[1-(phenylmethyl)-1H-pyrazol-4-yl]-2-pyridinyl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:368337 CAPLUS

DOCUMENT NUMBER: 133:4656

TITLE: Preparation of heteroarylpyrazoles as p38 kinase inhibitors

INVENTOR(S): Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce Z.; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Graneto, Matthew J.; Hanau, Cathleen E.; Hanson, Gunnar J.; Hartmann, Susan J.; Hepperle, Michael; Huang, He; Khanna, Ish K.; Koszyk, Francis J.; Liao, Shuyuan; Metz, Suzanne; Partis, Richard A.; Perry, Thao D.; Rao, Shashidhar N.; Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Talley, John Jeffrey; Vazquez, Michael L.; Weier, Richard M.; Xu, Xiangdong; Yu, Yi

PATENT ASSIGNEE(S): G.D. Searle & Co., USA

SOURCE: PCT Int. Appl., 1210 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000031063	A1	20000602	WO 1999-US26007	19991117
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6514977	B1	20030204	US 1998-196623	19981120
EP 1144403	A1	20011017	EP 1999-965756	19991117
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			

10/ 005,133

IE, SI, LT, LV, FI, RO

BR 9915420 A 20020122
EE 200100268 A 20021216
US 6525059 B1 20030225
NO 2001002456 A 20010719

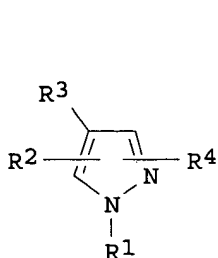
BR 1999-15420 19991117
EE 2001-200100268 19991117
US 2000-513351 20000224
NO 2001-2456 20010518

PRIORITY APPLN. INFO.:

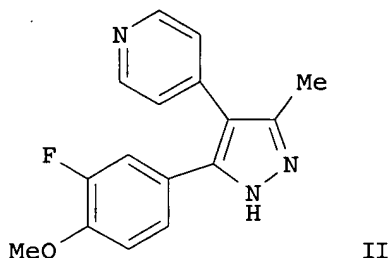
US 1998-196623 A 19981120
US 1997-47570P P 19970522
US 1998-83670 A2 19980522
WO 1999-US26007 W 19991117

OTHER SOURCE(S):
GI

MARPAT 133:4656



I



II

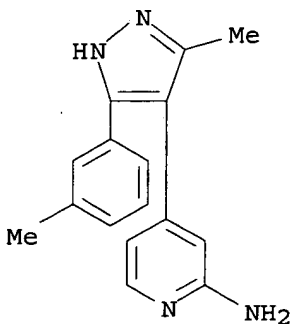
AB Title compds. [I; R1 = H, OH, NH2, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = H, halo, alkyl, alkoxy, (un)substituted piperidinyl, etc.; R3 = pyridyl, pyrimidinyl, quinolyl, etc.; R4 = H, alkyl, heterocyclyl, aryl, etc.] were prepd. by reaction of ketones with hydrazines. Thus, R3CH2C(=O)Me (R3 = 4-pyridinyl) was condensed with 3,4-F(MeO)C6H3CHO and the product cyclocondensed with TsNHNH2 to give title compd. II. Data for biol. activity of I were given.

IT 216504-84-6P 216504-85-7P 216505-37-2P
216505-48-5P 216505-49-6P 216507-06-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heteroarylpyrazole p38 kinase inhibitors by
cyclocondensation of hydrazines with ketones)

RN 216504-84-6 CAPLUS

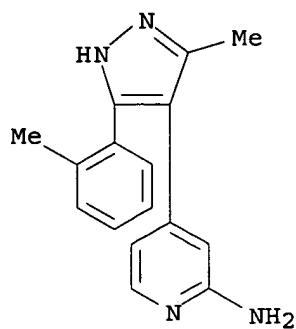
CN 2-Pyridinamine, 4-[3-methyl-5-(3-methylphenyl)-1H-pyrazol-4-yl]- (9CI)
(CA INDEX NAME)



RN 216504-85-7 CAPLUS

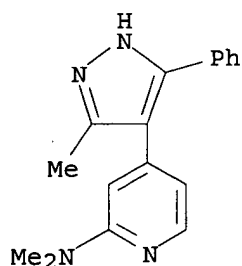
CN 2-Pyridinamine, 4-[3-methyl-5-(2-methylphenyl)-1H-pyrazol-4-yl]- (9CI)
(CA INDEX NAME)

10/ 005,133



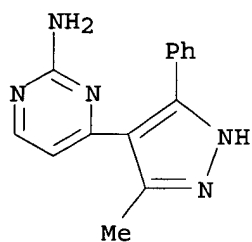
RN 216505-37-2 CAPLUS

CN 2-Pyridinamine, N,N-dimethyl-4-(3-methyl-5-phenyl-1H-pyrazol-4-yl) - (9CI)
(CA INDEX NAME)



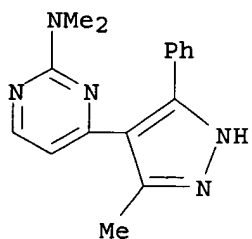
RN 216505-48-5 CAPLUS

CN 2-Pyrimidinamine, 4-(3-methyl-5-phenyl-1H-pyrazol-4-yl) - (9CI) (CA INDEX NAME)



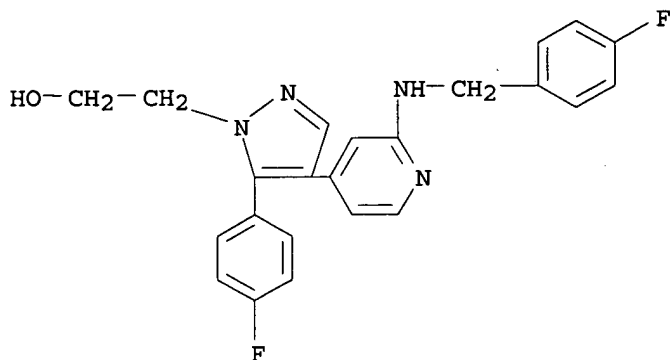
RN 216505-49-6 CAPLUS

CN 2-Pyrimidinamine, N,N-dimethyl-4-(3-methyl-5-phenyl-1H-pyrazol-4-yl) - (9CI) (CA INDEX NAME)



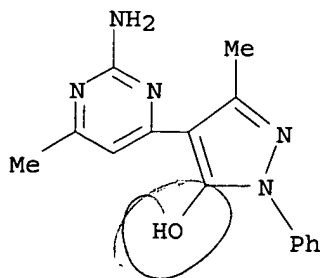
10/ 005,133

RN 216507-06-1 CAPLUS
CN 1H-Pyrazole-1-ethanol, 5-(4-fluorophenyl)-4-[2-[[4-fluorophenyl)methyl]amino]-4-pyridinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:700930 CAPLUS
DOCUMENT NUMBER: 132:151766
TITLE: Synthesis and antimicrobial activity of 4-(4-pyrazolyl)-2-aminopyrimidines
AUTHOR(S): Singh, Shiv P.; Batra, Hitesh; Naithani, Rajesh; Prakash, Om
CORPORATE SOURCE: Department of Chemistry, Kurukshetra University, Kurukshetra, 136 119, India
SOURCE: Indian Journal of Heterocyclic Chemistry (1999), 9(1), 73-74
CODEN: IJCHEI; ISSN: 0971-1627
PUBLISHER: Prof. R. S. Varma
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 1-(Pyrazol-4-yl)-1,3 butanediones on condensation with guanidine carbonate give 4-(4-pyrazolyl)-2-aminopyrimidines in good yields. A few compds. show moderate level of antimicrobial activity.
IT 257625-23-3P 257625-24-4P 257625-25-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antimicrobial activity of [hydroxy(methyl)pyrazolyl]pyrimidinamines)
RN 257625-23-3 CAPLUS
CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-3-methyl-1-phenyl- (9CI) (CA INDEX NAME)

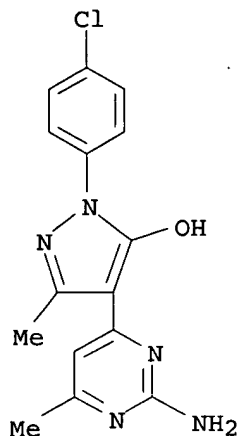


2
R. not a hydroxy

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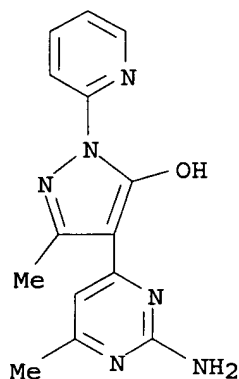
RN 257625-24-4 CAPLUS

CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-1-(4-chlorophenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 257625-25-5 CAPLUS

CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-3-methyl-1-(2-pyridinyl)- (9CI) (CA INDEX NAME)

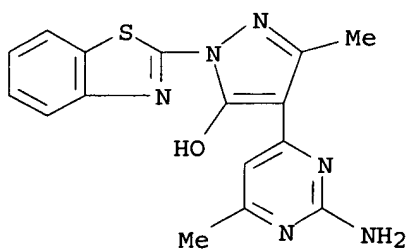


IT 257625-26-6P 257625-27-7P 257625-28-8P
257625-29-9P 257625-30-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 257625-26-6 CAPLUS

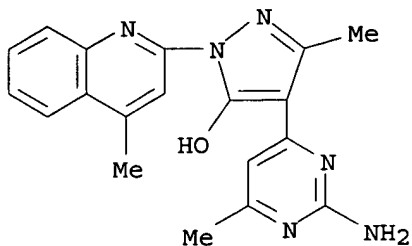
CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-1-(2-benzothiazolyl)-3-methyl- (9CI) (CA INDEX NAME)



10/ 005,133

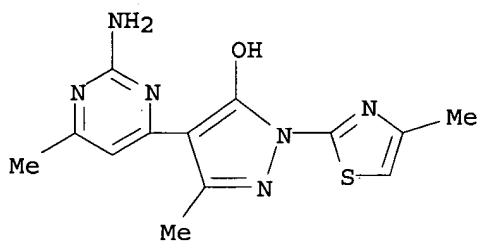
RN 257625-27-7 CAPLUS

CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-3-methyl-1-(4-methyl-2-quinolinyl)- (9CI) (CA INDEX NAME)



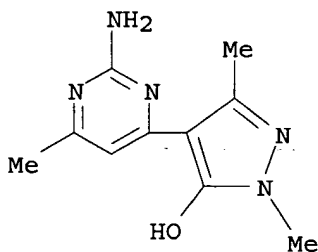
RN 257625-28-8 CAPLUS

CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-3-methyl-1-(4-methyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



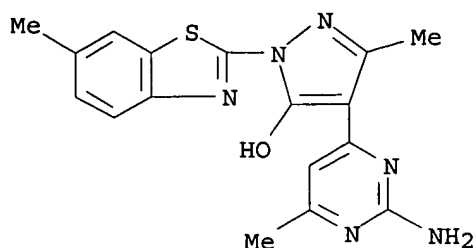
RN 257625-29-9 CAPLUS

CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 257625-30-2 CAPLUS

CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-3-methyl-1-(6-methyl-2-benzothiazolyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:789144 CAPLUS

DOCUMENT NUMBER: 130:38377

TITLE: Preparation of heteroarylpyrazoles as p38 kinase inhibitors

INVENTOR(S): Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce Zuowu; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Hanson, Gunnar J.; Koszyk, Francis J.; Liao, Shuyuan; Partis, Richard A.; Rao, Shashidhar N.; Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Weier, Richard M.; Xu, Xiangdong

PATENT ASSIGNEE(S): G.D. Searle and Co., USA; et al.

SOURCE: PCT Int. Appl., 828 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

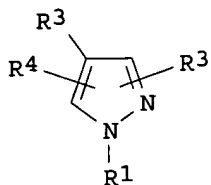
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

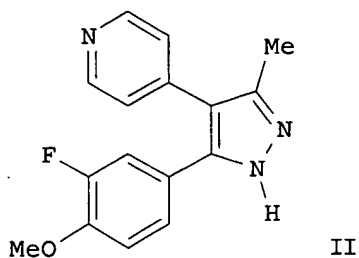
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9852940	A1	19981126	WO 1998-US10436	19980522
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9875883	A1	19981211	AU 1998-75883	19980522
AU 754830	B2	20021128		
ZA 9804358	A	19990524	ZA 1998-4358	19980522
EP 1000055	A1	20000517	EP 1998-923642	19980522
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EE 9900527	A	20000615	EE 1999-527	19980522
BR 9809147	A	20000801	BR 1998-9147	19980522
JP 2002508754	T2	20020319	JP 1998-550650	19980522
NZ 501112	A	20021025	NZ 1998-501112	19980522
NO 9905695	A	20000121	NO 1999-5695	19991119
MX 9910759	A	20000531	MX 1999-10759	19991122
PRIORITY APPLN. INFO.:			US 1997-47570P	P 19970522
			WO 1998-US10436	W 19980522

OTHER SOURCE(S): MARPAT 130:38377
GI



I



II

AB Title compds. [I; R1 = H, NH2, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = H, halo, alkyl, alkoxy, etc.; R3 = pyridyl, pyrimidinyl, quinolyl, etc.; R4 = H, alkyl, heterocyclyl, aryl, etc.] were prepd. Thus, R3CH2COMe (R3 = 4-pyridinyl) was condensed with 3,4-F(MeO)C6H3CHO and the product cyclocondensed with TsNHNH2 to give title compd. II. Data for biol. activity of I were given.

IT 216504-84-6P 216504-85-7P 216505-37-2P

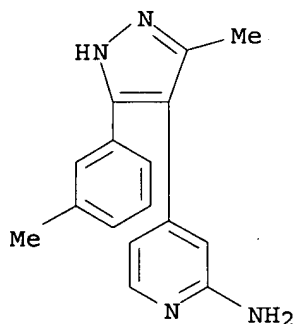
216505-48-5P 216505-49-6P 216507-06-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heteroarylpyrazoles as p38 kinase inhibitors)

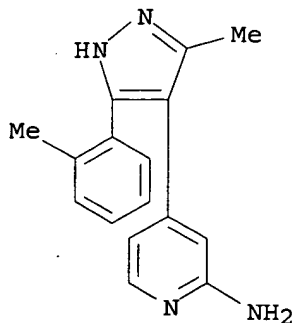
RN 216504-84-6 CAPLUS

CN 2-Pyridinamine, 4-[3-methyl-5-(3-methylphenyl)-1H-pyrazol-4-yl] - (9CI)
(CA INDEX NAME)



RN 216504-85-7 CAPLUS

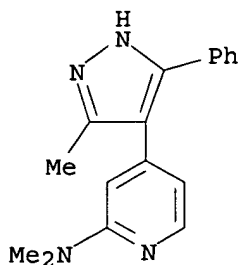
CN 2-Pyridinamine, 4-[3-methyl-5-(2-methylphenyl)-1H-pyrazol-4-yl] - (9CI)
(CA INDEX NAME)



RN 216505-37-2 CAPLUS

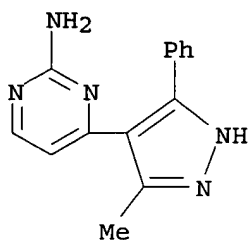
10/ 005,133

CN 2-Pyridinamine, N,N-dimethyl-4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)- (9CI)
(CA INDEX NAME)



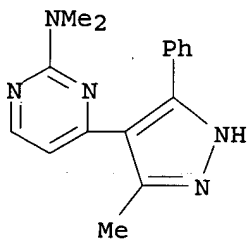
RN 216505-48-5 CAPLUS

CN 2-Pyrimidinamine, 4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)



RN 216505-49-6 CAPLUS

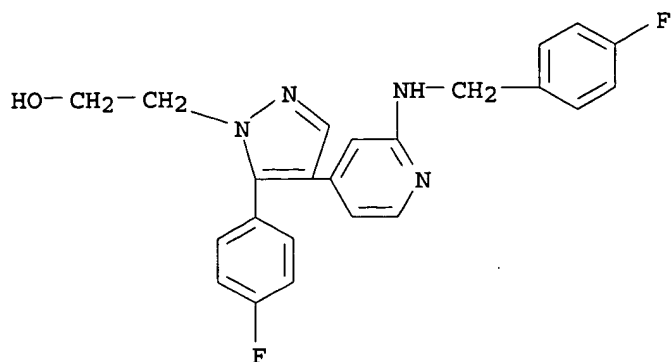
CN 2-Pyrimidinamine, N,N-dimethyl-4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)



RN 216507-06-1 CAPLUS

CN 1H-Pyrazole-1-ethanol, 5-(4-fluorophenyl)-4-[2-[[4-fluorophenyl)methyl]amino]-4-pyridinyl]- (9CI) (CA INDEX NAME)

10/ 005,133



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 15:09:55 ON 25 APR 2003)

FILE 'REGISTRY' ENTERED AT 15:10:03 ON 25 APR 2003

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L3 30 S L1 FUL

FILE 'CAPLUS' ENTERED AT 15:10:39 ON 25 APR 2003

L4 9 S L3

=> log y

COST IN U.S. DOLLARS

SINCE FILE
ENTRY

TOTAL
SESSION

FULL ESTIMATED COST

41.24

189.60

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE
ENTRY

TOTAL
SESSION

CA SUBSCRIBER PRICE

-5.86

-5.86

STN INTERNATIONAL LOGOFF AT 15:11:30 ON 25 APR 2003